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L4
     ANSWER 1 OF 22 CAPLUS
                             COPYRIGHT 2002 ACS
AN
     2002:353270 CAPLUS
DN
     136:363861
TI
     Use of 20-HETE synthesizing enzyme inhibitors as therapy for cerebral
     vascular diseases
IN
     Roman, Richard J.; Harder, David R.; Miyata, Noriyuki; Sato, Masakazu;
     Kameo, Kazuya; Okuyama, Shigeru
PA
     MCW Research Foundation, Inc., USA; Taisho Pharmaceutical Co., Ltd.
SO
     PCT Int. Appl., 38 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
PΙ
     WO 2002036108
                       A2
                            20020510
                                          WO 2001-US27605
                                                             20010906
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-245638P P
                            20001103
     A method for treating cerebral vascular diseases in a human or non-human
     animal is disclosed. The method involves inhibiting 20-HETE
     synthesizing enzyme activity sufficiently to increase or prevent a
     decrease in cerebral blood flow in the human or non-human animal.
ΙT
     339068-25-6, HET0016
     RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (use of 20-HETE synthesizing enzyme inhibitors as therapy for
        cerebral vascular diseases by increasing cerebral blood flow)
RN
     339068-25-6 CAPLUS
CN
    Methanimidamide, N-(4-butyl-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX
    NAME)
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L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2002 ACS

AN 2001:872188 CAPLUS

DN 136:179707

TI Discovery of a N'-hydroxyphenylformamidine derivative HET0016 as a potent

and selective 20-HETE synthase inhibitor

AU Sato, Masakazu; Ishii, Takaaki; Kobayashi-Matsunaga, Yuko; Amada, Hideaki;

Taniguchi, Kazuo; Miyata, Noriyuki; Kameo, Kazuya

CS Medicinal Research Laboratories, Taisho Pharmaceutical Co., Ltd., Saitama,

Saitama, 330-8530, Japan

SO Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 2993-2995 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB N-(4-Butyl-2-methylphenyl)-N'-hydroxyformamidine (HET0016) was evaluated as the first potent and selective inhibitor of 20-hydroxy-5,8,11,14-eicosatetraenoic acid (20-HETE) synthase. The IC50 value of HET0016 for the prodn. of 20-HETE from arachidonic acid (AA) by human renal microsomes

was 8.9 nM, with over 200 times the selectivity of xenobiotic-metabolizing

cytochrome P 450 enzymes. An examn. of the structure-activity relation revealed that the unsubstituted hydroxyformamidine moiety and the substituent at the para-position of the N-hydroxyformamidine moiety are necessary for the potent activity of HET0016.

IT 90619-09-3P 339068-25-6P, HET 0016 339068-26-7P

339068-27-8P 339068-28-9P 339068-33-6P

339068-37-0P 339068-48-3P 339069-45-3P

339069-46-4P 339069-47-5P 339070-41-6P

339071-33-9P

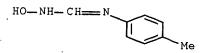
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(discovery of a N'-hydroxyphenylformamidine deriv. $\mbox{HET0016}$ as a potent

and selective 20-HETE synthase inhibitor)

RN 90619-09-3 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 339068-25-6 CAPLUS

CN Methanimidamide, N-(4-butyl-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339068-26-7 CAPLUS

CN Methanimidamide, N-[4-(1,1-dimethylethyl)phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339068-27-8 CAPLUS

CN Methanimidamide, N-(2-butylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339068-28-9 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[4-(1-methylpropyl)phenyl]- (9CI) (CA INDEX

NAME)

RN 339068-33-6 CAPLUS

CN Methanimidamide, N-(4-hexylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

$$HO-NH-CH$$

RN 339068-37-0 CAPLUS

CN Methanimidamide, N-(4-ethylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339068-48-3 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX

NAME)

RN 339069-45-3 CAPLUS

CN Methanimidamide, N-(4-butylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339069-46-4 CAPLUS

CN Methanimidamide, N-(5-butyl-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339069-47-5 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 339070-41-6 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[4-(phenylmethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 339071-33-9 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(4-propoxyphenyl)- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4
     ANSWER 3 OF 22 CAPLUS COPYRIGHT 2002 ACS
ΑN
     2001:830885 CAPLUS
DN
     135:357921
TI
     Preparation of 5-amino-4-aroyl-1-arylpyrazoles as p-38 MAP kinase
IN
     Goldstein, David Michael; Labadie, Sharada Shenvi; Rotstein, David Mark;
     Sjogren, Eric Brian; Talamas, Francisco Xavier
     Syntex (U.S.A.) Llc, USA
PA
SO
     U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 305,737.
     CODEN: USXXAM
DT
     Patent
LA
     English
FAN.CNT 3
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
ΡI
     US 6316466
                            20011113
                       В1
                                            US 1999-401141
                                                             19990922
     US 6376527
                       B1 ·
                            20020423
                                            US 1999-305737
                                                             19990505
     WO 2001021591
                       A1
                            20010329
                                            WO 2000-EP8981
                                                             20000914
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
             MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
             TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-84250P
                       Ρ
                            19980505
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US 1999-122410P

US 1999-130369P

US 1999-305737

US 1999-401141

MARPAT 135:357921

os

GI

and

and

Р

Ρ

A2

Α

19990302

19990421

19990505

19990922

AB Title compds. [I; R1 = H, acyl; R2 = H, alkyl; A, B = aryl, heteroaryl; R3 = amino, acylamino, (substituted) heterocyclyl, aryl, heteroaryl, heteroalkyl, etc.; R4 = H, halo, alkyl, alkoxy, OH; R5 = H, halo, alkyl, haloalkyl, OH, amino, etc.; R6 = H, halo, alkyl, alkoxy], were prepd.

formulated. Thus, 5-amino-4-(3-bromobenzoyl)-1-(4-fluorophenyl)pyrazole (prepn. given), 4-(2-propynyl)morpholine (prepn. given), (PPh3)2PdCl2,

CuI were heated in disopropylamine at 70.degree. for 10 h to give 5-amino-1-(4-fluorophenyl)-4-[3-(3-morpholin-4-ylprop-1-ynyl)benzoyl]pyrazole hydrochloride. Tested I inhibited LPS-induced TNF.alpha. prodn. in THP1 cells with IC50 = 0.17-1.77 .mu.M.

IT 249935-71-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

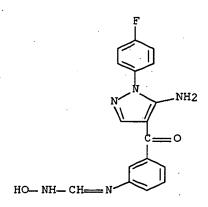
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminoaroylarylpyrazoles as p-38 MAP kinase inhibitors)

RN 249935-71-5 CAPLUS

CN Methanimidamide, N-[3-[[5-amino-1-(4-fluorophenyl)-1H-pyrazol-4-yl]carbonyl]phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2002 ACS

AN 2001:430085 CAPLUS

DN 135:235866

TI HET0016, a potent and selective inhibitor of 20-HETE synthesizing enzyme

AU Miyata, Noriyuki; Taniguchi, Kazuo; Seki, Takayuki; Ishimoto, Tsuyoshi; Sato-Watanabe, Mariko; Yasuda, Yoshiko; Doi, Mariko; Kametani, Shunichi; Tomishima, Yasumitsu; Ueki, Tomokazu; Sato, Masakazu; Kameo, Kazuya

CS Medicinal Research Laboratories, Taisho Pharmaceutical Co. Ltd., Saitama.

330-8530, Japan

SO British Journal of Pharmacology (2001), 133(3), 325-329 CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB The present study examd. the inhibitory effects of N-Hydroxy-N'-(4-butyl-2-

methylphenyl)-formamidine (HET0016) on the renal metab. of arachidonic acid by cytochrome P 450 (CYP) enzymes. HET0016 exhibited a high degree of selectivity in inhibiting the formation of 20-hydroxy-5,8,11,14-eicosatetraenoic acid (20-HETE) in rat renal microsomes. The IC50 value averaged 35.+-.4 nM, whereas the IC50 value for inhibition of the formation of epoxyeicosatrienoic acids by HET0016 averaged 2800.+-.300

nM.

In human renal microsomes, HET0016 potently inhibited the formation of 20-HETE with an IC50 value of 8.9.+-.2.7 nM. Higher concns. of HET0016 also inhibited the CYP2C9, CYP2D6 and CYP3A4-catalyzed substrates oxidn. with IC50 values of 3300, 83,900 and 71,000 nM. The IC50 value for HET0016 on cyclooxygenase activity was 2300 nM. These results indicate that HET0016 is a potent and selective inhibitor of CYP enzymes responsible for the formation of 20-HETE in man and rat.

IT 339068-25-6, HET 0016

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(HET0016, a potent and selective inhibitor of 20-HETE synthesizing enzyme)

RN 339068-25-6 CAPLUS

CN Methanimidamide, N-(4-butyl-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 6 OF 22 CAPLUS COPYRIGHT 2002 ACS
AN
     2001:228861 CAPLUS
DN
     134:266303
TI
     Preparation of 5-amino-4-aroyl-1-arylpyrazoles as p-38 MAP kinase
IN
     Goldstein, David Michael; Labadie, Sharada Shenvi; Rotstein, David Mark;
     Sjogren, Eric Brian; Talamas, Francisco Xavier
PΑ
     F. Hoffmann-La Roche A.-G., Switz..
SO
     PCT Int. Appl., 112 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 3
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
PI
     WO 2001021591
                       A1
                            20010329
                                           WO 2000-EP8981
                                                             20000914
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
             MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
             TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6316466
                       В1
                            20011113
                                          US 1999-401141
PRAI US 1999-401141
                            19990922
                       Α
     US 1998-84250P
                       Ρ
                            19980505
                       Ρ.
     US 1999-122410P
                            19990302
     US 1999-130369P
                       Ρ
                            19990421
     US 1999-305737
                       A2
                            19990505
os
     MARPAT 134:266303
GI
          BR5R6
AΒ
     Title compds. [I; R1 = H, acyl; A, B = aryl, heteroaryl; R3 = amino,
     acylamino, (substituted) heterocyclyl, aryl, heteroaryl, heteroalkyl,
     etc.; R4 = H, halo, alkyl, alkoxy, OH; R5 = H, halo, alkyl, haloalkyl,
     OH, amino, thioalkyl, heteroalkyl, (substituted) heterocyclyl, etc.; R6
     = H, halo, alkyl, alkoxy], were prepd. Thus, 5-amino-4-(3-
    bromobenzoyl)-1-(4-fluorophenyl)pyrazole (prepn. given), 4-(2-
    propynyl)morpholine (prepn. given), (PPh3)2PdCl2, and CuI were heated in
    diisopropylamine at 70.degree. for 10 h to give 5-amino-1-(4-
     fluorophenyl)-4-[3-(3-morpholin-4-ylprop-1-ynyl)benzoyl]pyrazole
    hydrochloride. Tested I inhibited LPS-induced TNF.alpha. prodn. in THP1
    cells with IC50 = 0.17-1.77 .mu.M.
IT
    249935-71-5P
    RL: BAC (Biological activity or effector, except adverse); BSU
```

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aminoaroylarylpyrazoles as p-38 MAP kinase inhibitors)

RN 249935-71-5 CAPLUS

CN Methanimidamide, N-[3-[[5-amino-1-(4-fluorophenyl)-1H-pyrazol-4-yl]carbonyl]phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 2001:2068 CAPLUS

DN 134:353167

TI Synthesis of amidinobenzocrown ethers and their derivatives

AU Gorodnyuk, V. P.; Zlotskii, S. S.; Kotlyar, S. A.

CS Ufim. Gos. Neftyanoi Tekh. Univ., Ufa, 450062, Russia

SO Bashkirskii Khimicheskii Zhurnal (2000), 7(2), 5-9 CODEN: BKZHFU; ISSN: 0869-8406

PB Izdatel'stvo "Reaktiv"

DT Journal

LA Russian

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Amidinobenzocrown ethers, e.g. I [R = H, Me, Ph; R1 = R2 = Me; R1R2 = (CH2)4, (CH2)5, CH2CH2OCH2CH2, (CH2)6], were prepd. by condensation of aminobenzocrown ethers, e.g. II, with amide acetals R1R2NCR(OMe)2.

125580-04-3P 339200-66-7P 339200-68-9P 339200-73-6P 339200-74-7P 339200-75-8P 339200-78-1P 339200-79-2P 339200-80-5P

339200-81-6P 339200-98-5P 339200-99-6P

339201-00-2P 339201-01-3P 339201-02-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of amidinobenzocrown ethers by condensation of aminobenzocrown

ethers with amide acetals)

RN 125580-04-3 CAPLUS

CN Methanimidamide, N, N''-(6, 7, 9, 10, 17, 18, 20, 21-

octahydrodibenzo[b,k][1,4,7,1

0,13,16]hexaoxacyclooctadecin-2,13-diyl)bis[N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-66-7 CAPLUS

CN Methanimidamide, N-(2,3,5,6,8,9-hexahydro-1,4,7,10-benzotetraoxacyclododecin-12-yl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-68-9 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(2,3,5,6,8,9,11,12-octahydro-1,4,7,10,13-benzopentaoxacyclopentadecin-15-yl)- (9CI) (CA INDEX NAME)

RN 339200-73-6 CAPLUS

CN Methanimidamide, N-(2,3,5,6,8,9,11,12,14,15-decahydro-1,4,7,10,13,16-benzohexaoxacyclooctadecin-18-yl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-74-7 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,17,18-

hexahydrodibenzo[b,h][1,4,7,10,13]p

entaoxacyclopentadecin-2,14-diyl)bis[N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-75-8 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,17,18,20,21-octahydrodibenzo[b,k][1,4,7,1]

0,13,16]hexaoxacyclooctadecin-2,14-diyl)bis[N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-78-1 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21-

octahydrodibenzo[b,h][1,4,7,1

0,13,16]hexaoxacyclooctadecin-2,17-diyl)bis[N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339200-79-2 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21,23,24-decahydrodibenzo[b,k][1,4,7,10,13,16,19]heptaoxacycloheneicosin-2,17-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

RN 339200-80-5 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21,23,24,26,27-dodecahydrodibenz[b,n][1,4,7,10,13,16,19,22]octaoxacyclotetracosin-2,17-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-B

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RN 339200-81-6 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,15,16,23,24,26,27-dodecahydrodibenz[b,k][1,4,7,10,13,16,19,22]octaoxacyclotetracosin-2,20-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

RN 339200-98-5 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,17,18-hexahydrodibenzo[b,h][1,4,7,10,13]pentaoxacyclopentadecin-2,13-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

RN 339200-99-6 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21-octahydrodibenzo[b,h][1,4,7,1 0,13,16]hexaoxacyclooctadecin-2,16-diyl)bis[N'-hydroxy- (9CI) (CA INDEX NAME)

RN 339201-00-2 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21,23,24-decahydrodibenzo[b,k][1,4,7,10,13,16,19]heptaoxacycloheneicosin-2,16-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

RN 339201-01-3 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,20,21,23,24,26,27-dodecahydrodibenz[b,n][1,4,7,10,13,16,19,22]octaoxacyclotetracosin-2,16-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-B

— ОН

RN 339201-02-4 CAPLUS

CN Methanimidamide, N,N''-(6,7,9,10,12,13,15,16,23,24,26,27-dodecahydrodibenz[b,k][1,4,7,10,13,16,19,22]octaoxacyclotetracosin-2,19-diyl)bis[N'-hydroxy-(9CI) (CA INDEX NAME)

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L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 2000:553541 CAPLUS

DN 133:163952

TI Preparation of N2-phenylamidines as fungicides

IN Charles, Mark David; Franke, Wilfried; Green, David Eric; Hough, Thomas Lawley; Mitchell, Dale Robert; Simpson, Donald James; Atherall, John Frederick

PA Hoechst Schering Agrevo G.m.b.H., Germany

SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DT Patent

LA English ·

FAN.CNT 1

C MM .	CNII			
	PATENT NO.	KIND DATE	APPLICATION NO. DATE	
PI	WO 2000046184	A1 20000810	WO 2000-GB345 20000204	
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	RW: AT, BE,	CH, CY, DE, DK,	ES, FI, FR, GB, GR, IE, IT, LU, M	C, NL,
	PT, SE	•		
	EP 1150944	A1 20011107	EP 2000-901791 20000204	
	R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, M	C, PT,
-	IE, FI			
	BR 2000009314	A 20020213	BR 2000-9314 20000204	
PRAI	GB 1999-2592	A 19990206	•	
	WO 2000-GB345	W 20000204		
os	MARPAT 133:16395	52		
GT				

AB The title compds. [I; R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3 = R1, CN,

acyl, etc.; R2 and R3, or R2 and R1, together with their interconnecting atoms may form (un) substituted ring; R4 = alkyl, alkenyl, alkynyl, etc.;

= 0-3; when present R5 = R4; R6 = (un)substituted carbo- or heterocyclyl;

A = a direct bond, O, C.tplbond.C, etc.; AR6 and R5 together with benzene

ring M form an (un)substituted fused ring system], useful as fungicides, were prepd. E.g., a 3-step prepn. of the formamidine II which showed moderate to total control against Erysiphe graminis f. sp. Tritici at

ppm (w/v) or less, was given.

IT 287938-56-1P

500

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

hydroxy- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4
     ANSWER 9 OF 22 CAPLUS COPYRIGHT 2002 ACS
AN
     1999:723019 CAPLUS
DN
     131:337035
TI
     Preparation of 5-aminopyrazole derivatives as p-38 MAP kinase inhibitors
     Labadie, Sharada Shenvi; Rotstein, David Mark; Sjogren, Eric Brian;
IN
     Talamas, Francisco Xavier
PA
     F. Hoffmann-La Roche AG, Switz.
SO
     PCT Int. Appl., 132 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 3
     PATENT NO.
                       KIND
                             DATE
                                            APPLICATION NO.
                                                              DATE
PΙ
     WO 9957101
                             19991111
                                            WO 1999-EP2879
                       Α1
                                                              19990428
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2329065
                       AΑ
                            19991111
                                            CA 1999-2329065
                                                              19990428
     AU 9940348
                       A1
                            19991123
                                            AU 1999-40348
                                                              19990428
     BR 9911013
                       Α
                            20010206
                                            BR 1999-11013
                                                              19990428
     EP 1075467
                       Α1
                            20010214
                                           EP 1999-923484
                                                              19990428
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002513784
                                            JP 2000-547071
                       T2
                            20020514
                                                              19990428
     NO 2000005535
                       Α
                            20001102
                                            NO 2000-5535
                                                              20001102
PRAI US 1998-84250P
                       Ρ
                            19980505
     US 1999-122410P
                       Ρ
                            19990302
     WO 1999-EP2879
                       W
                            19990428
OS
     MARPAT 131:337035
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$$R^3$$
 R^4
 R^1
 R^2
 R^2

GI

AB The present invention relates to certain pyrazole derivs. of Formula (I; R1 = hydrogen, acyl, P(O)(OH)2; R2 = hydrogen, halo, alkyl, alkylthio; ring A = aryl, heteroaryl, heterocyclyl ring optionally fused to a Ph

ring

provided that the heterocyclyl ring is attached to the carbonyl group via

a carbon ring atom; ring B = aryl or heteroaryl ring; R3 = amino, alkylamino, dialkylamino, acylamino, optionally substituted heterocyclyl,

optionally substituted aryl or heteroaryl, heteroalkyl, heteroalkenyl, heteroalkynyl, heteroalkoxy, heteroalkylamino, etc.; R4 = hydrogen,

alkyl, alkoxy, hydroxy; R5 = hydrogen, halo, alkyl, haloalkyl,
thioalkyl,

hydroxy, amino, alkylamino, dialkylamino, heteroalkyl, optionally substituted heterocycle, optionally substituted heterocyclylalkyl, optionally substituted heterocyclylalkoxy, alkylsulfonyl, etc.) that are p-38 MAP kinase inhibitors, pharmaceutical compns. contg. them, methods for their use for the treatment and prophylaxis of inflammatory

and methods for prepg. these compds. A mixt. of 4-fluorophenylhydrazine (1.0 g, 6.8 mmol) and 2-[3-(2-morpholin-4-ylethoxy)benzoyl]-3-phenylaminoacrylonitrile (2.0 g, 5.3 mmol) in ethanol (30 mL) was heated at reflux under a nitrogen atm. for 6 h to give, after purifn. by flash chromatog., 5-amino-1-(4-fluorophenyl)-4-[3-(2-morpholin-4-ylethoxy)benzoyl]pyrazole (II; R = 3-(2-morpholin-4-ylethoxy)phenyl)

which was converted to the hydrochloride salt. III.HCl and II [R = 3-(4-benzylpiperidin-1-yl)phenyl] (IV) showed IC50 of 1.27 and 1.12 .mu.M,

resp., against p-38 MAP kinase. IV showed IC50 of 0.14 .mu.M for inhibition of LPS-Induced TNF-a prodn. in THP1 cells.

IT 249935-71-5P

(III)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 5-aminopyrazole derivs. as p-38 MAP kinase inhibitors for treatment and prophylaxis of inflammatory diseases)

RN 249935-71-5 CAPLUS

CN Methanimidamide, N-[3-[[5-amino-1-(4-fluorophenyl)-1H-pyrazol-4-yl]carbonyl]phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2002 ACS
- AN 1993:443231 CAPLUS
- DN 119:43231
- TI Relationships between fungitoxic and physicochemical properties of N-phenylformamidoxime compounds
- AU Nakata, Akira; Kumita, Izumi; Hashimoto, Sho; Sano, Shinsuke; Hayakawa, Koichi
- CS Odawara Res. Cent., Nippon Soda Co., Ltd., Odawara, 250-02, Japan
- SO J. Pestic. Sci. (Int. Ed.) (1993), 18(1), 25-9 CODEN: JPESEC; ISSN: 0916-9962
- DT Journal
- LA English
- AB This paper is on relationships between systemic, curative and residual efficacies of 17 N-phenylformamidoximes in control of gray mold of

kidney

bean and cucumber, and Cercospora leaf spot of sugar beet infected with isolates resistant to benzimidazoles and preventive efficacy or log P of the compds. Against these diseases both systemic and curative

efficacies

increased as log P values decreased, and residual efficacy showed a pos. correlation to log P values. Systemic, curative and residual efficacies of the compds. in control of the plant diseases were primarily dependent on their intrinsic activity and hydrophobicity.

IT 6274-32-4D, derivs.

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BIOL (Biological study); USES (Uses)
(fungicidal activity of, physicochem. properties in relation to)

RN 6274-32-4 CAPLUS

CN Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

HO-NH-CH-N-Ph

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2002 ACS

AN 1993:54211 CAPLUS

DN 118:54211

TI Quantitative structure-activity relationships of fungicidal N-phenylformamidoximes

AU Hayakawa, Koichi; Nakayama, Akira; Nishikawa, Hiroaki; Nakata, Akira; Sano, Shinsuke; Yokota, Chinami

CS Odawara Res. Cent., Nippon Soda Co., Ltd., Odawara, 250-02, Japan

SO J. Pestic. Sci. (Int. Ed.) (1992), 17(1), 17-25 CODEN: JPESEC

DT Journal

LA English

AB Fungicidal activity of N-phenylformamidoximes against Botrytis cinerea resistant to benzimidazole fungicides was analyzed quant. by using their physicochem. and structural parameters and regression anal. Steric and electronic effects of substituents at the 4-position of the 3,5-dichlorophenyl group are important for fungicidal activity and the fungitoxic property in terms of neg. correlated cross-resistance to benzimidazole fungicides. The effects of oxime O-substituents were expressed by steric and hydrophobic parameters in the anal. of compds. having a 3,5-dichloro-4-propynyloxyphenyl group. Further anal. for a larger data set including variations in both substituents gave a regression equation, which indicates significant contribution of hydrophobic, steric and electronic properties to the fungicidal activity.

For the steric effects, the optimum sizes of substituents were presented by regression equations. Thus, steric and electronic interactions are important for mol. recognition at the receptor. Transportation to the active site effectively controls the biol. response as expressed by hydrophobic parameters.

IT 145525-02-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of, quant. structure-activity relationships of)

RN 145525-02-6 CAPLUS

CN Methanimidamide, N-[3,5-dichloro-4-(2-propynyl)phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)

$$C1$$
 CH_2-C
 CH
 CH
 CH

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2002 ACS L4

1992:526306 CAPLUS ΑŃ

117:126306 DN

Studies on fungicidal N-phenylformamidoximes. II. Quantitative structure-activity relationships of fungicidal N-phenylformamidoximes TI Hayakawa, Koichi; Nakayama, Akira; Nishikawa, Hiroaki; Nakata, Akira; ΑU

Sano, Shinsuke; Yokota, Chinami

Odawara Res. Cent., Nippon Soda Co., Ltd., Odawara, 250-02, Japan CS

Nippon Noyaku Gakkaishi (1992), 17(1), 17-25 SO CODEN: NNGADV; ISSN: 0385-1559

I

Journal DT

English LA

GΙ

Seventy-seven derivs. of N-phenylformamidoxime (I) were synthesized and tested for their fungicidal activities toward Botrytis cinerea resistant AB to benzimidazole fungicides, and their structure-activity relationships quant. analyzed. Of 39 I (R3 = Et), R1 to R3 = C1, Me, OEt had high activities. Results of the quant. anal. of 20 I (R = R2 = C1; R3 = Et) revealed that the steric and electronic effects of R1 were important for the fungicidal activities and their fungitoxicities in terms of neg. correlated cross-resistance to benzimidazole fungicides,

CH.tplbond.CCH20

giving the optimum value fo the steric effect. Activities of 21 I (R =

R2

= Cl; R1 = OCH2C.tplbond.CH) was correlated neg. with mol.

hydrophobicity

and parabolically with the max. width of R3. I (R = R2 = C1; R1 =OCH2C.tplbond.CH; R3 = Me) was selected as one of the most effective compds.

143034-34-8P IT

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of, quant. structure-activity relationships of)

143034-34-8 CAPLUS RN

Methanimidamide, N-[3,5-dichloro-4-(2-propynyloxy)phenyl]-N'-hydroxy-CN(9CI) (CA INDEX NAME)

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L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 1990:115588 CAPLUS

DN 112:115588

TI Synthesis and antimicrobial activity of aminobenzo crown ethers

AU Kotlyar, S. A.; Gorodnyuk, V. P.; Konup, I. P.; Konup, L. A.

CS Odess. Gos. Univ., Odessa, USSR

SO Khim.-Farm. Zh. (1989), 23(11), 1342-6 CODEN: KHFZAN; ISSN: 0023-1134

DT Journal

LA Russian

OS CASREACT 112:115588

AB Novel acylamido-, amidino-substituted derivs. of benzo-15-crown-5, dibenzo-18-crown-6 and dibenzo-24-crown-8 were synthesized. Their structures were detd. by anal. physicochem. methods. Some of the compds.

synthesized had moderate antimicrobial activity.

IT 125580-04-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

RN 125580-04-3 CAPLUS CN Methanimidamide, N,N''-(6,7,9,10,17,18,20,21-

octahydrodibenzo[b,k][1,4,7,1

0,13,16]hexaoxacyclooctadecin-2,13-diyl)bis[N'-hýdroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2002 ACS

AN 1987:151627 CAPLUS

DN 106:151627

TI Formamide oxime derivative fungicides and insecticides

IN Hayakawa, Koichi; Nishikawa, Hiroaki; Hashimoto, Akira

PA Nippon Soda Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 64 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 61165360	A2	19860726	JP 1985-5403	19850116	
CASREACT 106:151627					

OS GI

ΡI

AB Formamide oxime derivs. I [X = halo, NO2, CN, CHO, alkylcarbonyl, CO2H, alkoxycarbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, CONH2, alkylcarbamoyl, etc.; B = O, S, SO, SO2, NR1; Y = H, halo, CN, cycloalkyl, alkylcarbonyloxy, alkylcarbonyl, alkoxycarbonyl, OH, alkoxy, alkylthio, ureido, etc.; m, n = 0-5; R = (un)substituted Ph, halo, CN, alkoxy, alkylthio, alkoxycarbonyl, etc.; R1 = H, alkyl] are prepd. as fungicides and insecticides. Thus, 27.9 g 4-amino-2,6-diethylphenol was treated with HC(OEt)3 in 100 mL AcOEt followed by treatment with 11.2 g EtONH2 to give 37.89 N-(3,5-diethyl-4-hydroxyphenyl)-N'-ethoxyformamidine. To 9.0 g of this product was added 6.55 g EtI and 5.3 g K2CO3 in 50 mL acetone to give 9.9 g N-(3,5-diethyl-4-ethoxyphenyl)-N'-ethoxyformamidine (II). II, applied at 200 ppm, totally controlled Botrytis cinerea on bean.

IT 98868-60-1

RL: RCT (Reactant) (allylation of, with allyl bromide)

RN 98868-60-1 CAPLUS

CN Methanimidamide, N-(3-chloro-4-ethoxy-5-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

IT 98868-61-2

RL: RCT (Reactant) (ethylation of, with di-Et sulfate)

RN 98868-61-2 CAPLUS

CN Methanimidamide, N-(3,5-dichloro-4-ethoxyphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

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ANSWER 15 OF 22 CAPLUS COPYRIGHT 2002 ACS
L4
     1985:578031 CAPLUS
AN
     103:178031
DN
     Formamidoxime derivatives
TΙ
     Hayakawa, Koichi; Nishikawa, Hiroaki; Hashimoto, Sho
IN
     Nippon Soda Co., Ltd., Japan
PA
     Eur. Pat. Appl., 151 pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
                            _____
                                            ______
                            19850213
                                            EP 1984-201035
     EP 132881
                       A1
                                                             19840711
PT
         R: AT, BE, CH, DE, FR, GB, IT, LI, NL
                       A2
                                            JP 1983-127825
                                                             19830715
     JP 60019759
                            19850131
     JP 60078954
                       A2
                            19850504
                                            JP 1983-187004
                                                             19831007
                                            JP 1983-187005
                       A2
                                                             19831007
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                       A2
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                                                             19840409
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                       A1
                            19850117
                                           AU 1984-30229
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                       Α
                                           IN 1984-CA489
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                       A1
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                       A5
                            19851009
                                           DD 1984-265268
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     DD 239592
                      Α5
                            19861001
                                            DD 1984-277961
                                                             19840713
                                            FI 1984-2861
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     FI 8402861
                      Α
                            19850116
     RO 93862
                            19880229
                                           RO 1984-124999
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     RO 93859
                                            RO 1984-124996
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                            19880229
     RO 93860
                       В3
                            19880229
                                            RO 1984-124997
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                                           RO 1984-124998
     RO 93861
                       В3
                            19880229
                                                             19840716
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                            19880229
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     RO 93863
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                            19880330
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                       A1
                            19860101
                                            ES 1985-542534
                                                             19850424
                                           ES 1985-542535
     ES 542535
                       A1
                            19860101
                                                             19850424
                                           ES 1985-544385
                                                             19850620
     ES 544385
                       Α1
                            19860116
PRAI JP 1983-127825
                            19830715
     JP 1983-187004
                            19831007
     JP 1983-187005
                            19831007
     JP 1984-29504
                            19840221
     JP 1984-29505
                            19840221
     JP 1984-29506
                            19840221
     JP 1984-35020
                            19840225
     JP 1984-69129
                            19840409
     JP 1984-67129
                            19840409
     HU 1984-2744
                            19840713
OS
     CASREACT 103:178031
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GΙ

AB N-Phenylformamidoximes I [each of m and n is 0, 1, 2, 3, 4, 5; R = halo, NO2, cyano, HCO, alkanoyl, CO2H, esterified CO2H, carbamoyl; oxygenated heteroaryl, satd. or unsatd. hydrocarbyl, substituted satd. or unsatd. hydrocarbyl; Z = O, S, SO, SO2, NH, alkylimino; R1 = satd. or unsatd. hydrocarbyl, substituted satd. or unsatd. hydrocarbyl, or (ZR1)n is a doubling radical; R2 = satd. or unsatd. hydrocarbyl, substituted satd.

or

unsatd. hydrocarbyl], which were prepd., showed pesticidal, insecticidal,

and a caricidal activity. Thus, 3,4,5-Me(EtO)2C6H2N:CHOEt was stirred with

EtONH2 at room temp. to give 3,4,5-Me(EtO)2C6H2NHCH:NOEt.

IT 98868-60-1P

RN 98868-60-1 CAPLUS

CN Methanimidamide, N-(3-chloro-4-ethoxy-5-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

IT 98868-61-2

RL: RCT (Reactant)

(O-alkylation of, by di-Et sulfate)

RN 98868-61-2 CAPLUS

CN Methanimidamide, N-(3,5-dichloro-4-ethoxyphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

```
ANSWER 16 OF 22 CAPLUS COPYRIGHT 2002 ACS
L4
     1984:455026 CAPLUS
AN
     101:55026
DN
     Synthesis of 3-substituted 5,6-diphenylpyrimidin-4-ones from
TΤ
     diphenylcyclopropenone and N-substituted amide oximes
     Takahashi, Masahiko; Nogami, Takayuki; Nidaira, Kenichi
AU
     Fac. Eng., Ibaraki Univ., Hitachi, 316, Japan
CS
     Heterocycles (1984), 22(3), 581-4
SO
     CODEN: HTCYAM; ISSN: 0385-5414
DT
     Journal
     English
LA
os
     CASREACT 101:55026
GI
    The diphenylpyrimidinones I (R = H, R1 = Ph, p-MeOC6H4, p-MeC6H4,
AΒ
     p-ClC6H4, H; R = Ph, R1 = Ph, p-MeC6H4) were prepd. in 46-77% yield by
     cyclization of diphenylcyclopropenone with RC(:NOH)NR1. I (R = H, R1 =
     Ph) was hydrolyzed in aq. EtOH contg. OH- to give H2NCPh:CPhCONHPh.
IT
     6274-32-4
     RL: RCT (Reactant)
        (cyclization of, with diphenylcyclopropenone, diphenylpyrimidinone
        derivs. from)
     6274-32-4 CAPLUS
RN
    Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)
CN
 HO-- NH-- CH--- N-- Ph
     69036-85-7P 90619-09-3P 90619-10-6P
IT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. and cyclization with diphenylcyclopropenone,
        diphenylpyrimidinone derivs. from)
RN
     69036-85-7 CAPLUS
CN
    Methanimidamide, N-hydroxy-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)
             N=CH-NH-OH
RN
     90619-09-3 CAPLUS
    Methanimidamide, N-hydroxy-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)
CN
 HO-NH-CH-N
     90619-10-6 CAPLUS
RN
    Methanimidamide, N-(4-chlorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)
CN
           N — CH — NH — OH
```

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L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 1982:562399 CAPLUS

- DN 97:162399
- TI N-(Imidazolylphenyl) formamidines
- IN Cereda, Enzo; Donetti, Arturo; Del Soldato, Piero; Bergamaschi, Mario
- PA Istituto De Angeli S.p.A., Italy
- SO Eur. Pat. Appl., 47 pp. CODEN: EPXXDW
- DT Patent
- LA English

LA	-						
FAN.	CNT 1 PATENT NO.	KIND	DATE		APF	PLICATION NO.	DATE
ΡI	EP 53407	A2			EP	1981-201192	19811028
	EP 53407	A3	19830727				
	EP 53407	B1	19861230				
		BE, CH, DE	FR, IT,	LU,	NL, S	SE .	
	CS 249115 CS 249544	B2	19870312		CS	1981-7691	
	CS 249544	В2	19870312		CS	1985-4409	19811020
		E				1981-201192	19811028
	SU 1110381	_				1981-3352653	19811117
		A5				1981-234955	19811118
	US 4386099	A				1981-322903	19811119
	DK 8105255	A			DK	1981-5255	19811126
	DK 157862	В	19900226				
	DK 157862	C	19900806			1001 0004	10011106
	FI 8103794	A	19820529		F.T	1981-3794	19811126
	FI 73209	В					
	FI 73209	C	19870910			1001 (4200	10011106
		A1	19850430			1981-64388	19811126
	NO 8104065	A	19820601		NO	1981-4065	19811127
	NO 158183	B C	19880418 19880727				
	NO 158183		19820603		71.17	1981-77947	19811127
	AU 8177947 AU 554592	A1 B2	19820803		AU	1901-77947	19011127
	GB 2088375		19820609		GB	1981-35901	19811127
	GB 2088375	B2	19850109		GD	1901-35901	19011127
	JP 57120575		19820727		,TP	1981-190458	19811127
	JP 02033031		19900725		O.	1301 130430	15011127
	ES 507501	A1	19820901		ES	1981-507501	19811127
	ES 507505	A1	19820901			1981-507505	19811127
	ES 507506	A1	19820901			1981-507506	19811127
	ES 507507	A1	19820901			1981-507507	19811127
	ES 507508	A1	19820901			1981-507508	19811127
	ES 507509	A1	19820901			1981-507509	19811127
	ZA 8108240	A	19830727			1981-8240	19811127
	ни 29076	0	19840130			1981-3571	19811127
	HU 187478	В	19860128				
	CA 1171092	A1	19840717		CA	1981-391057	19811127
	PL 135749	B1	19851231		\mathtt{PL}	1981-234007	19811127
	PL 136015	B1	19860131			1981-238422	19811127
	SU 1110382	A3	19840823		SU	1982-3463046	19820712
	US 4465841	Α	19840814		US	1982-427884	19820929
	CA 1181080	A2	19850115		CA	1984-448583	19840229
	NO 8704353	Α	19820601		NO	1987-4353	19871019
	NO 160578	В	19890123				
	NO 160578	С	19890503				

PRAI	ΙT	1980-26323	19801128
	ΕP	1981-201192	19811028
	US	1981-322903	19811119
	CA	1981-391057	19811127
	NO	1981-4065	19811127
GI			

AB Amidines I [R, R1, and R2 (same or different) are H, alkyl; R3 = alkyl, alkenyl, alkynyl, cyano, OH, cycloalkyl, bicycloalkyl, aralkyl, aryl, heteroaryl] were prepd. and they showed antihistaminic activity (to inhibit gastric acid secretion). Thus, HCONHMe reacted with 4-(4-aminophenyl)-1H-imidazole and PhCOCl to give the resp. I (R3 = Me, R

= R1 = R2 = H).

IT 83184-47-8P

RN 83184-47-8 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[4-(1H-imidazol-4-yl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

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L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 1981:120905 CAPLUS

DN 94:120905

TI N-(4-Chloro-2-methylphenyl)-N-hydroxy methanimidamide and its pesticidal use

IN Reifschneider, Walter

PA Dow Chemical Co., USA

SO U.S., 4 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 4237168 A 19801202 US 1979-47512 19790611

AB The title compd. [2,4-Me(Cl)C6H3NHCH:NOH] (I) was prepd. from 2,4-Me(Cl)C6H3N:CHNMe2 (II); I exhibited acaricidal and insecticidal activity. A mixt. of II, HONH2.HCl, and NaOMe in MeOH was stirred 18 h at room temp. to give I.

IT 69037-00-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and insecticidal activity of)

RN 69037-00-9 CAPLUS

CN Methanimidamide, N-(4-chloro-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2002 ACS

AN 1980:146673 CAPLUS

DN 92:146673

TI Base-induced fragmentations of 1,2,4-oxadiazolin-5-ones and their N-alkyl salts

AU Olofson, R. A.; Lotts, Kenneth D.

CS Chem. Dep., Pennsylvania State Univ., University Park, PA, 16802, USA

SO Tetrahedron Lett. (1979), (34), 3131-4 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GΙ

- AB The oxadiazolinones I (R = Ph, Me3C, cyclohexyl), prepd. (53-82%) from RNHCH:NOH and COCl2 in pyridine, underwent scission to CO2 and RNHCN when treated with Et3N in CH2Cl2 at room temp. The salts II (R as before), prepd. (81-8%) from I and Me3O+ BF4- in MeNO2, were also cleaved by Et3N, giving CO2 and MeN:C:NR. A D exchange study showed that scission of II proceeded via an ylide.
- IT 6274-32-4

RL: RCT (Reactant)

(cyclocondensation of, with phosgene)

- RN 6274-32-4 CAPLUS
- CN Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

HO-NH-CH-N-Ph

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L4
     ANSWER 20 OF 22 CAPLUS COPYRIGHT 2002 ACS
     1979:54644 CAPLUS
AN
     90:54644
DN
     Substituted N-phenylformamidoximes
TΙ
     Sinharay, Akhileswar; Bonin, Werner
IN
     Hoechst A.-G., Ger.
PA
     Ger. Offen., 10 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LА
     German
FAN.CNT 1
     PATENT NO.
                      KIND
                             DATE
                                            APPLICATION NO.
PΙ
     DE 2717437
                             19781026
                                            DE 1977-2717437
                       A1
     NL 7804189
                       Α
                             19781024
                                            NL 1978-4189
                       A2
                             19781118
                                            JP 1978-45456
     JP 53132529
                             19790410
                                            BR 1978-2422
     BR 7802422
                       Α
                                            ZA 1978-2240
     ZA 7802240
                       Α
                             19790425
     BE 866194
                       Α1
                             19781020
                                            BE 1978-186962
                                            FR 1978-11659
     FR 2387946
                       A1
                             19781117
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19770420

DATE

19770420

19780419

19780419

19780419

19780419

19780420

19780420

Eighteen formamidoximes I (R = halo, alkyl, alkoxy, alkylthio, CF3, PhO, halophenoxy, PhS, acyl, Bz, NO2; n = 1-3), useful as insecticides and acaricides (no data), were prepd. by treating II (R1,R2 = H, alkyl) or RnC6H5-nN:CHZR3 (R3 = alkyl, Z = O, S) with a hydroxylamine salt. Thus, refluxing 2,4-Me(MeO)C6H3N:CHNMe2 and H2NOH.HCl in MeOH 1 h gave 2,4-Me (MeO) C6H3NHCH:NOH. 69036-83-5P 69036-84-6P 69036-85-7P 69036-86-8P 69036-87-9P 69036-88-0P 69036-89-1P 69036-90-4P 69036-91-5P 69036-92-6P 69036-93-7P 69036-94-8P 69036-95-9P 69036-96-0P 69036-97-1P 69036-98-2P 69036-99-3P 69037-00-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN69036-83-5 CAPLUS Methanimidamide, N-hydroxy-N'-(4-methoxy-2-methylphenyl)- (9CI) CN INDEX

NAME)

PRAI DE 1977-2717437

GΙ

AB

RN 69036-84-6 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 69036-85-7 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 69036-86-8 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 69036-87-9 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 69036-88-0 CAPLUS

CN Methanimidamide, N-[4-(4-chlorophenoxy)phenyl]-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 69036-89-1 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[2-nitro-6-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 69036-90-4 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(2-methoxy-4-nitrophenyl)- (9CI) (CA INDEX

NAME)

RN 69036-91-5 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(2-methyl-4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 69036-92-6 CAPLUS

CN Methanimidamide, N-(2-chloro-4-nitrophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 69036-93-7 CAPLUS

CN Methanimidamide, N-(4-chloro-2-methoxyphenyl)-N'-hydroxy- (9CI) (CA INDEX

NAME)

RN 69036-94-8 CAPLUS

CN Methanimidamide, N-(2,4-dichlorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 69036-95-9 CAPLUS

CN Methanimidamide, N-(2,6-dichlorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 69036-96-0 CAPLUS

CN Methanimidamide, N-hydroxy-N'-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 69036-97-1 CAPLUS

CN Methanimidamide, N-(5-chloro-2-nitrophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 69036-98-2 CAPLUS

CN Methanimidamide, N-(4-chloro-2-nitrophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

$$N = CH - NH - OH$$

RN 69036-99-3 CAPLUS

CN Methanimidamide, N-hydroxy-N'-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 69037-00-9 CAPLUS

CN Methanimidamide, N-(4-chloro-2-methylphenyl)-N'-hydroxy- (9CI) (CA INDEX

NAME)

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L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2002 ACS
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AN 1976:179844 CAPLUS

DN 84:179844

TI Condensation of ethyl N-arylformimidates with some ammonia derivatives

AU Hussein, F. A.; Sarah, F. Y.

CS Iraq

SO Bull. Coll. Sci., Univ. Baghdad (1973), 14, 79-87 CODEN: BCOSAF

DT Journal

LA English

AB Formimidates RC6H4N:CHOEt (I; R = H, Cl, or F) reacted with amines R1NH2 (R1 = OH, H2NCONH, p-O2NC6H4NH) to give RC6H4N:CHNHR1. N2H4 reacted with 2 moles of I; PhNHNH2 gave a complex mixt.

IT 6274-32-4P 59332-86-4P 59332-90-0P

59332-95-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 6274-32-4 CAPLUS

CN Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

HO-NH-CH-N-Ph

RN 59332-86-4 CAPLUS

CN Methanimidamide, N-(3-chlorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 59332-90-0 CAPLUS

CN Methanimidamide, N-(4-fluorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

RN 59332-95-5 CAPLUS

CN Methanimidamide, N-(3-fluorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

$$_{\rm F}$$
 $_{\rm N=CH-NH-OH}$

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L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2002 ACS
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- AN 1974:437211 CAPLUS
- DN 81:37211
- TI .alpha.-Addition of hydroxylamines to isocyanide
- AU Zinner, Gerwalt; Heuer, Wilhelm; Moderhack, Dietrich
- CS Inst. Pharm. Chem., Tech. Univ. Braunschweig, Brunswick, Ger.
- SO Chem.-Ztg. (1974), 98(3), 159 CODEN: CMKZAT
- DT Journal
- LA German
- AB RNHOH (R = H, alkyl, aralkyl) reacted with R1NC (R1 = cyclohexyl, PhCH2, Ph) in the presence of HCl to give 10 RN(OH)CH:NR1.HCl.
- RN 6274-32-4 CAPLUS
- CN Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

HO-NH-CH-N-Ph

=> d l1; d his; log y L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 15:36:17 ON 14 JUN 2002)

FILE 'REGISTRY' ENTERED AT 15:36:36 ON 14 JUN 2002

L1 STRUCTURE UPLOADED

L2 22 S L1

L3 487 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:37:50 ON 14 JUN 2002

L4 22 S L3

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	102.92	243.79
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.63	-13.63

STN INTERNATIONAL LOGOFF AT 15:40:29 ON 14 JUN 2002

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AN 1976:179844 CAPLUS
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- DN 84:179844
- TI Condensation of ethyl N-arylformimidates with some ammonia derivatives
- AU Hussein, F. A.; Sarah, F. Y.
- CS Irac
- SO Bull. Coll. Sci., Univ. Baghdad (1973), 14, 79-87 CODEN: BCOSAF
- DT Journal
- LA English
- AB Formimidates RC6H4N:CHOEt (I; R = H, Cl, or F) reacted with amines R1NH2 (R1 = OH, H2NCONH, p-O2NC6H4NH) to give RC6H4N:CHNHR1. N2H4 reacted with 2 moles of I; PhNHNH2 gave a complex mixt.
- IT 6274-32-4P 59332-86-4P 59332-90-0P

59332-95-5P

- RN 6274-32-4 CAPLUS
- CN Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

HO-NH-CH-N-Ph

- RN 59332-86-4 CAPLUS
- CN Methanimidamide, N-(3-chlorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

- RN 59332-90-0 CAPLUS
- CN Methanimidamide, N-(4-fluorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

- RN 59332-95-5 CAPLUS
- CN Methanimidamide, N-(3-fluorophenyl)-N'-hydroxy- (9CI) (CA INDEX NAME)

```
AN
     1974:437211 CAPLUS
DN
     81:37211
ΤI
     .alpha.-Addition of hydroxylamines to isocyanide
     Zinner, Gerwalt; Heuer, Wilhelm; Moderhack, Dietrich
ΑU
CS
     Inst. Pharm. Chem., Tech. Univ. Braunschweig, Brunswick, Ger.
     Chem.-Ztg. (1974), 98(3), 159
so
     CODEN: CMKZAT
DT
     Journal
LA
    German
    RNHOH (R = H, alkyl, aralkyl) reacted with R1NC (R1 = cyclohexyl, PhCH2,
AΒ
     Ph) in the presence of HCl to give 10 RN(OH)CH:NR1.HCl.
     6274-32-4P
ΙT
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
    6274-32-4 CAPLUS
RN
    Methanimidamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)
CN
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HO-NH-CH-N-Ph

ANSWER 1 OF 1 WP1 COPYRIGHT 2001 DERWENT INFOR L11978-76301A [43] WPIDS AN Acaricidal N-substd. phenyl formamide oxime derivs. - partic. active TΙ against ticks of ixodidae and sarcosporidae families. DC B05 C03 (FARH) HOECHST AG PA CYC BE----866194 A 19781020 (197843)* PΙ DE---2717437 A 19781026 (197844) NL---7804189 A 19781024 (197845) JP--53132529 A 19781118 (197901) <--FR---2387946 A 19781222 (197904) 19790301 (197920) ZA---7802240 A 19790410 (197921) BR---7802422 A 19850802 (198644) IT---1094425 B

PRAI 1977DE-2717437 19770420

AΒ

BE 866194 A UPAB: 19930901 N-phenyl-formamide oximes of formula (I) and their acid addition salts are new: (where n=1-3 and each R independenyl=halo, alkyl, alkoxy, alkythio, acyl, alkylamino, dialkylamino, hydroxy, CF3, phenoxy, halo-phenoxy,

phenylthio, benzoyl, amino or nitro. the alkyl and acyl groups are

'lower').

(I) have low toxicity to warm blodded animals. (I) are active against ectoparasites of ixodidae (hard ticks) and sarcosporidia e.g. Boophilus microplus, Boophilus decoloratus, single-host blue ticks and ticks of species Hyalomma, Rhipicephalus, Amblyomma, Haemophysalis, Dermacentor and Ixodes. The cpds. are active against all stage of development of the ticks and cause abandonment of the host. (I) are

generally applied as aq. sprays or drenchs contg. 0.01-5% of active cpd. Cpds (I) where (Rn)=2, 4-dimethyl; 2-methyl-4-chloro and 4-phenoxy

are specifically claimed.